(19)

Europäisches Patentamt

European Patent Office

Office européen des brevets



EP 0 673 642 B1

(12)

EUROPEAN PATENT SPECIFICATION

(45) Date of publication and mention of the grant of the patent:
19.07.2000 Bulletin 2000/29

(51) Int. Cl.7: A61K 7/48

(11)

(21) Application number: 95104142.5

(22) Date of filing: 21.03.1995

(54) Solid lipophilic composition and process for its preparation
Feste fettlösliche Zusammensetzung und Verfahren zu ihrer Herstellung

Composition lipophile solide et procédé de préparation

(84) Designated Contracting States: CH DE ES FR GB IT LI

(30) Priority: 22.03.1994 US 216151 29.12.1994 US 365810

(43) Date of publication of application: 27.09.1995 Bulletin 1995/39

(73) Proprietor: ESTEE LAUDER INC. New York New York 10022 (US)

(72) Inventors:

Cohen, Isaac D.
 Brooklyn, New York 11229 (US)

Bevacqua, Andrew J.
 East Setauket, New York 11733 (US)

Toma, Daniela
 Floral Park, New York 11001 (US)

Lahanas, Konstantinos M.
 North Babylon, New York 11703 (US)

(74) Representative: VOSSIUS & PARTNER Slebertstrasse 4 81675 München (DE)

(56) References cited:

EP-A- 0 175 074

WO-A-91/15191

US-A- 4 781 917

 PATENT ABSTRACTS OF JAPAN vol. 9 no. 205 (C-299) ,22 August 1985 & JP-A-60 075405 (SHISEIDO KK) 27 April 1985,

P 0 673 642 B1

Note: Within nine months from the publication of the mention of the grant of the European patent, any person may give notice to the European Patent Office of opposition to the European patent granted. Notice of opposition shall be filed in a written reasoned statement. It shall not be deemed to have been filed until the opposition fee has been paid. (Art. 99(1) European Patent Convention).

Description

35

40

[0001] The present invention relates to solid, lipophilic compositions suitable for topical application to human skin. In particular the invention relates to solid lipophilic compositions comprising dibenzyl monosorbitol acetal (DBMSA), lipophilic materials and one or more cosmetic materials.

[0002] The invention further relates to methods for preparing and using the compositions.

[0003] Dibenzyl monosorbitol acetal, herein referred to as DBMSA is a known gelling agent. For example, DBMSA has been used as a gelling agent in transparent or translucent deodorant and antiperspirant sticks. See, for example, United States patents 4,154,816, 4,346,079, 4,518,582, 4,725,430, 4,743,444, 4,816,261, and 4,781,917 and European patent applications 260,030 and 451,002. Hydrophilic solvents, such as lower monohydric alcohols, are typically used in such deodorant and antiperspirant sticks to solubilize the DBMSA at elevated temperatures.

[0004] The use of hydrophilic solvents to solubilize DBMSA in many compositions containing cosmetic colorants, fragrances, sunscreens or dermatologic agents poses a number of problems. Such solvents are generally irritating and are undesirable in compositions for use on areas having mucus membranes (e.g., the areas around the lips or eyes.) Furthermore, hydrophilic solvents are generally incompatible with lipophilic colorants that are frequently found in cosmetic preparations. In addition, lower monohydric alcohols, such as ethanol, are incompatible with certain sun care and antiinflammatory agents because they adversely effect the skin protective qualities of these agents.

[0005] Stable cosmetic and dermatologic compositions have been prepared by melting together one or more lipophilic waxes and the appropriate cosmetic or dermatologic agents. The lipophilic wax-containing compositions are then transferred to suitable containers for cooling and solidification. Frequently, however, the resulting compositions containing high levels of lipophilic waxes are perceived to be excessively sticky or greasy upon application.

[0006] An object of the present invention is to provide stable, solid lipophilic compositions containing DBMSA, a lipophilic material and a cosmetic material which are suitable for topical application to human skin. It is a further object of this invention to provide stable, solid lipophilic compositions containing DBMSA, a lipophilic material and a cosmetic material that are not perceived as being sticky or greasy. A further object of this invention is to provide stable, lipophilic compositions containing DBMSA and hydroxyacid derivatives. An additional object of this invention is to provide methods for preparing such compositions. Yet a further object of this invention is to provide methods for applying color to human skin, for protecting human skin from sunlight, and for treating dermatologic disorders such as dry skin, wrinkles, and blemished skin, using the lipophilic DBMSA based compositions of this invention.

30 [0007] The compositions of the present invention comprise:

- (a) from about 1.5% by weight to about 30% by weight of DBMSA;
- (b) from about 70% by weight to about 98.0% by weight of lipophilic material; and
- (c) from about 0.5% to about 28.5% by weight of a cosmetic material selected from colorants, fragrances, sunscreens, dermatologic agents, and mixtures thereof.

[0008] The present invention also relates to methods for preparing such compositions, which comprise the steps of:

- (a) mixing DBMSA with one or more lipophilic materials at a temperature and for a period of time (e.g., about 15 min to about 45 min) sufficient to dissolve the DBMSA in the lipophilic material;
- (b) mixing a cosmetic material selected from colorants, fragrances, sunscreens, dermatologic agents and mixtures thereof with the admixture of DBMSA and one or more lipophilic materials, the amounts of the materials being adjusted such that the resulting mixture contains from about 1.5% by weight to about 30% by weight DBMSA, from about 70% by weight to about 98.0% by weight of lipophilic material, and from about 0.5% by weight to about 28.5% by weight of cosmetic material; and
- (c) cooling the mixture to ambient temperature.

[0009] It is to be understood that step (b) set forth above may be conducted prior to the DBMSA being dissolved in the lipophilic material, or during or after the dissolution step. In other words, the cosmetic material may be mixed with the other components of the mixture before or after the DBMSA is dissolved in the lipophilic material or while the dissolution step is being conducted. It will be understood further that the terms "mixture" and "mixing" in this application are used in the broad sense of the words with term "mixture" including, without limitation, blends, solutions, and suspensions.

[0010] The compositions of this invention are chemically and physically stable, nonirritating, and aesthetically pleasing. The compositions of this invention may comprise hydroxyacid derivatives which decompose in an aqueous environment to release products that are beneficial to the skin. In addition, the compositions of the invention may be made to be substantially non-greasy and non-sticky by utilizing lipophilic oils as the only or primary lipophilic material in the composition. Preferably, at least 80% by weight of the lipophilic material is a lipophilic oil (as opposed to a

lipophilic wax) to achieve a composition that is substantially non-greasy and non-sticky.

[0011] The compositions described herein comprise from about 1.5% by weight to about 30% by weight DBMSA. Preferably the compositions comprise about 2.0% by weight to about 5.0% by weight DBMSA DBMSA suitable for use in the invention may be obtained from a number of commercial sources. Among the commercially available sources of DBMSA are MILLITHIX™ 925 (obtained from Milliken Chemical, a division of Milliken & Company, Spartansburg, SC), GELL-All-D™ (obtained from New Japan Chemical Company, Ltd.), and DISORBENE™ (obtained from ROQUETTE Freres. France.)

[0012] The concentration of DBMSA in a particular composition influences the hardness and clarity of the composition, as well as the level of product transfer to the skin upon application. Appropriate concentrations can be readily determined by one of skill in the art, and will vary depending on the solubility of the DBMSA in the composition. In general, an increase in the concentration of DBMSA will provide a harder, less transparent composition, and a lower level of product transfer to the skin upon application. Conversely, a decrease in the concentration of DBMSA will provide a softer, more transparent composition, and a higher level of product transfer to the skin upon application.

[0013] The compositions of this invention also comprise from about 70% by weight to about 98.0% by weight of a lipophilic material. Lipophilic material, as used herein, refers to a non-polar material that is miscible in lipids. One class of lipophilic materials that may be used in the invention is lipophilic material from the class of materials known as cosmetically acceptable esters, e.g., mono-, di- and tri-esters having an alcohol chain length of 1 to 22 and an acid chain length of 1 to 22. Persons skilled in the art recognize that the group of cosmetically acceptable esters is very large, and can be further subdivided into, e.g., oils, waxes, glyceryl esters aliphatic esters and fats. See, e.g., CFTA International Cosmetic Ingredient Dictionary, 4th ed. (J.M. Nikitakis, et al. eds. Cosmetic, Toiletry and Fragrance Association, Inc. Washington, 1991).

[0014] Preferably at least about 80% by weight, most preferably at least about 95% by weight of the lipophilic material is one or more lipophilic oils. As used herein, the term lipophilic oils, refers to lipophilic materials that are liquid at room temperature about 17°C to about 25°C. Preferred lipophilic oils for use in the invention are selected from castor oil, mineral oil, squalene, fatty acids (e.g., oleic acid), fatty alcohols (e.g., octyldodecanol), C₁₂₋₁₅ alkyl benzoate, propylene glycol dipelargonate, glycerol trioctanoate and mixtures thereof.

[0015] The lipophilic material may also be a lipophilic wax. Preferably, less than about 20% by weight of the lipophilic material is one or more lipophilic waxes, particularly where non-greasy and non-sticky compositions are desired. As used herein, the term lipophilic waxes, refers to lipophilic materials that are solid at room temperature, but melt at elevated temperatures. Preferred lipophilic waxes are those selected from insect waxes, such as beeswax, animal waxes, such as lanolin, plant waxes, such as carnauba, mineral waxes, such as ozokerite, petroleum waxes, such as paraffin wax, synthetic waxes, such as polyethylene, and mixtures thereof.

[0016] The specific composition and amount of the lipophilic material that is used for a particular composition is a function of the aesthetic and functional properties desired for that composition. The aesthetic and functional properties that may be controlled by a person skilled in the art by varying the composition and amount of lipophilic material used in the composition of the invention include, for example, emolliency, skin feel, and rate of absorbance through the skin.

[0017] The compositions of this invention also comprise from about 0.5% by weight to about 28.5% by weight of a cosmetic material chosen from colorants, fragrances, and sunscreens and dermatological agents and mixtures thereof. Colorants useful in the composition of the invention include lipophilic dyes, lakes, pigments and mixtures thereof. Preferred fragrances are the essential oils. Dermatological agents that may be used in our compositions include vitamins, antiinflammatory agents, hydroxyacids, hydroxyacid derivatives, and mixtures thereof.

[0018] Hydroxyacid derivatives that are useful in this invention include cyclic esters of a hydroxycarboxylic acid having the chemical formula:

45

50

55

$$R_1$$
 R_3
 R_2
 R_2

wherein R_1 and R_2 may be the same or different. R_1 and R_2 may be selected from the group comprising hydrogen, alkyl, aralkyl or aryl group of saturated or unsaturated, isomeric or non-isomeric, straight chain, branched chain or cyclic form, having 1-25 carbon atoms. Preferably R_1 and R_2 are selected from the group consisting of hydrogen, methyl, ethyl, pro-

pyl, isopropyl, butyl, benzyl, and phenyl. More preferably $\rm R_1$ and $\rm R_2$ are selected from hydrogen and methyl. $\rm R_3$ and $\rm R_4$ may be selected independently from hydrogen, a halogen atom and a radical, such as, a lower alkyl, aralkyl, aryl or alkoxy of saturated or unsaturated, isomeric or non-isomeric, straight or branched chain or cyclic form, having 1-9 carbon atoms. Preferably $\rm R_3$ and $\rm R_4$ are hydrogen. The most preferred hydroxyacid derivatives of this invention are lactide (3,6-dimethyl-1,4-dioxane-2,5-dione) and glycolide (1,4-dioxane-2,5-dione).

[0019] It is believed that the hydroxyacid derivatives useful in the preferred compositions of the invention break down over time into hydroxyacids upon application to and continued contact with the skin. It is believed that this sustained release of the hydroxyacids over time imparts beneficial effects to the skin.

[0020] Sunscreens that may be used include dioxybenzone, 2-ethylhexyl 2-cyano-3,3-diphenylacrylate, 2-ethylhexyl p-methoxycinnamate, 2-ethylhexyl salicylate, homosalate, menthyl anthranilate, oxybenzone, octyl dimethyl PABA, red petrolatum, titanium dioxide, ferulic acid esters, or mixtures thereof. Preferably the sunscreen is titanium dioxide or ethyl ferulate or mixtures thereof.

[0021] The compositions of this invention may also comprise other ingredients that are commonly employed by one of skill in the art in compositions for application to the skin (e.g., stabilizers, antimicrobial agents and antioxidants).

[0022] In the method of the present invention, DBMSA, a lipophilic material and a cosmetic material are combined at a temperature and a period of time sufficient to dissolve substantially all of the DBMSA in the lipophilic material to obtain a solution of DBMSA in the liquid material. The solution is then solidified by cooling it.

[0023] The DBMSA, lipophilic materials and cosmetic materials may be combined and mixed together using any means familiar to those skilled in the art. For example, a LIGHTNIN™ Stirrer or a Silverson homogenizer may be used for this purpose.

[0024] The heating step is carefully monitored (e.g., by visual means) to ensure that the solution is substantially free of undissolved DBMSA.

[0025] In a preferred embodiment of this invention, the DBMSA and the lipophilic material are combined and heated at a temperature sufficient to dissolve substantially all the DBMSA before one or more cosmetic materials are added to the mixture. Preferably, the temperature is about 75°C to about 200°C. Most preferably the temperature is about 150°C to about 200°C. Once substantially all the DBMSA is in solution, the cosmetic material is admixed with the mixture and the resulting admixture is cooled to room temperature. Particularly when the cosmetic material is colored or particulate, proceeding in this manner facilitates the ability of the person(s) making the composition to determine that substantially all the DBMSA is dissolved in the lipophilic material.

[0026] Preferably, the heated mixture is transferred while still above ambient temperature to the container in which it will be stored, where it is solidified. For example, the heated, still liquid material may be transferred to a lipstick mold, a makeup pan, or a wide-mouthed jar and cooled to about ambient temperature to solidify the mixture.

[0027] The compositions of the present invention may be formulated for a wide range of cosmetic applications. For example, the compositions may be formulated as lip area treatment preparations, eye area treatment preparations, sunscreen preparations, antiinflammatory preparations, antiacne preparations, antibacterial preparations, color cosmetic preparations, fragrance preparations, moisturizing preparations or exfoliating preparations.

[0028] The following non-limiting examples illustrate various compositions of the present invention.

40

45

50

EXAMPLES

EXAMPLE 1

5 [0029]

| ٠ | _ |
|---|---|
| | v |
| | |

15

20

| | Transparent Lipstick | |
|----------|--|-----------------|
| | Component | Parts By Weight |
| Phase 1: | Finsolv TN ¹ | 48.25 |
| | Trivent OC-G ² | 48.25 |
| | DBMSA | 3.00 |
| Phase 2: | D & C Red No. 21 6921/362 ³ | 0.50 |

 $^{^{\}rm 1}$ A C $_{\rm 12.15}$ alkyl benzoate-containing composition obtained from Finetex, Inc.

25 Procedure:

[0030] Phase 1 was blended at 175°C using a LKGHTNIN™ Mixer until a clear solution was obtained. Phase 2 was then mixed into this solution. The resultant mixture was poured into a lipstick mold and cooled to room temperature.

[0031] The procedure of Example 1 was also used to prepare the compositions of Examples 2-4.

30

35

40

45

50

² A glycerol trioctanoate-containing composition obtained from Trivent Chemical Co.

³ A D&C Red No. 21-containing composition obtained from Sun Chemical Corp.

EXAMPLE 2

[0032]

5

10

20

15

25

30

35

45

50

55

| A Low-Wax Lipstick | | |
|--------------------|---|-----------------|
| | Component | Parts By Weight |
| Phase 1: | Emerest 2388 ⁴ | 39.00 |
| | Castor Oil USP/Crystal O ⁵ | 34.00 |
| | Lantrol (Plain) ⁶ | 5.00 |
| | Beeswax - White S.P. 422 ⁷ | 5.00 |
| | Panalane L-14E ⁸ | 5.00 |
| | DBMSA | 4.00 |
| Phase 2: | Flamenco Superpeari ⁹ | 1,00 |
| | D&C Red No. 7 Ca Lake 6607/3107 ¹⁰ | 7.00 |

⁴ A propylene glycol dipelargonate-containing composition obtained from Henkel Corp.

[0033] The composition of Example 2 is useful for providing an aesthetically pleasing, non-sticky, non-greasy transfer of color to the lip area.

EXAMPLE 3

[0034]

| A Sunscreen Stick | | |
|-------------------|------------------------------|-----------------|
| | Component | Parts By Weight |
| Phase 1: | Finsolv TN | 87.00 |
| i | DBMSA | 3.00 |
| Phase 2: | Ethyl Ferulate ¹¹ | 5.00 |
| | Tioviel FIN12 | 5.00 |

An ethyl ferulate-containing composition obtained from ICN Biochemicals, Irvine, CA.
 An ultra fine titanium dioxide-containing composition (40% by weight in an C₁₂₋₁₅ Alkyl Benzoate) obtained from Tioxide Specialties Ltd.

⁵ A castor oil-containing composition obtained from Caschem, Inc.

⁶ A lanolin oil-containing composition obtained from Henkel Corp.

⁷ A beeswax-containing composition obtained from Strahl & Pitsch.

⁸ A polybutene-containing composition obtained from Amoco Chemicals.

⁹ A mica/titanium dioxide-containing composition obtained from Mearl Corp.
¹⁰ A mixture composed of 30 parts by weight D & C Red No. 7 Calcium Lake-

¹⁰ A mixture composed of 30 parts by weight D & C Red No. 7 Calcium Lake-containing composition (obtained from Hilton-Davis Chemical Co.), and 70 parts by weight Castor Oil USP/Crystal O. The mixture is combined in a stainless steel mixing container and blended with a Hockmeyer high energy disperser. The mixture is then passed through a three-roller mill twice until a "fineness of grind" reading of greater than 7 on the North scale of a Hegman gauge is obtained.

[0035] This composition provided a Sun Protection Factor (SPF) of approximately 16.8, as measured by the *in vivo* method (See: "Sunscreen Products for Over-The-Counter Human Drugs, Proposed Safety, Effective and Labeling Conditions", Department of Health, Education, and Welfare, Food and Drug Admin., <u>Federal Register</u> 43(166), Part II, pp. 38206-38269 (1978)).

EXAMPLE 4

[0036]

10

15

20

25

| An Exfoliating Preparation | | |
|----------------------------|-----------------------------------|-----------------|
| | Component | Parts By Weight |
| Phase 1: | Finsolv TN | 44.50 |
| | Castor Oil USP/Crystal O | 44.50 |
| | DBMSA | 3.00 |
| Phase 2: | Salicylic Acid USP (Powder)13 | 2.00 |
| | Silicone 200 Fluid - 500 Cts14 | 5.00 |
| | Vitamin E/Linoleate ¹⁵ | 1.00 |

¹³ A salicylic acid-containing composition obtained from Rhone-Poulanc Inc.

30

35

40

45

50

Poulenc Inc.

14 A dimethicone-containing composition obtained from Dow Coming Corp.

ing Corp.

15 A tocopheryl linoleate-containing composition obtained from Roche Products Ltd.

EXAMPLE 5

[0037]

10

15

20

25

30

40

45

50

| A Facial Makeup | | |
|-----------------|--|-----------------|
| | Component | Parts By Weight |
| Phase 1: | Castor Oil USP/Crystal O | 4.03 |
| | FD&C Yellow No. 5 Al Lake B3014 ¹⁶ | O.64 |
| | D&C Red No. 6 Lake C19-022 ¹⁷ | 0.50 |
| | D&C Red No. 7 Lake C19-011 ¹⁸ | 0.38 |
| | FD&C Blue No. 1 Al Lake (Lakoline) ¹⁹ | 0.01 |
| | Pure Oxy Red 7054/3080 ²⁰ | 0.36 |
| | Titanium Dioxide 328 USP ²¹ | 1.08 |

¹⁶ A FD&C Yellow No. 5 (Aluminum Lake)-containing composition obtained from Warner-Jenkinson Cosmetic Colors.

| | Component | Parts By Weight |
|----------|------------------------------|-----------------|
| Phase 2: | Schercemol DIS ²² | 63.00 |
| | Ceraphyl 791 ²³ | 11.00 |
| | DBMSA | 4.00 |
| Phase 3: | Mica 280 BC ²⁴ | 10.00 |
| | Speron P-1500 ²⁵ | 5.00 |

²² A diisopropyl sebacate-containing composition obtained from Scher Chemicals, Inc.

55 Procedure:

[0038] Phase 1 was blended in a three-roller mill until it was homogenous. Phase 2 was heated at 175°C until a clear solution was obtained. Phases 1 and 3 were then added to the phase 2 solution and the resultant mixture was

 $^{^{17}}$ A D&C Red No. 6 (Barium Lake)-containing composition obtained from Sun Chemical Corp.

¹⁸ A D&C Red No. 7 (Calcium Lake)-containing composition obtained from Sun Chemical Corp.

¹⁹ A FD&C Blue No. 1 (Aluminum Lake)-containing composition, obtained from Warner-Jenkinson Cosmetic Colors.

 $^{^{\}rm 20}$ An Iron oxides-containing composition obtained from Warner-Jenkinson Cosmetics Colors.

²¹ A titanium dioxide-containing composition obtained from Sun Chemical Corp.

²³ An isocetyl stearoyl stearate-containing composition obtained from ISP Van Dyk Inc.

²⁴ A mica-containing composition obtained from Warner-Jenkinson Cosmetic Colors.

²⁵ A silica-containing composition obtained from Presperse Inc.

poured into a makeup pan and cooled to room temperature.

EXAMPLE 6

5 [0039]

10

15

20

| A Solid Fragrance Stick | | |
|---------------------------|--------------|-------|
| Component Parts by Weight | | |
| Phase 1: | Emerest 2388 | 92.00 |
| | DBMSA | 3.00 |
| | Fragrance | 5.00 |

[0040] Phase 1 was blended at 175°C using a LIGHTNIN™ Mixer until a clear solution was obtained. The solution was poured into a mold and cooled to room temperature.

EXAMPLE 7

[0041]

25

30

35

| Transparent Skin Treatment Stick | | |
|----------------------------------|-----------------------|-----------------|
| | Component | Parts by Weight |
| Phase 1: | Finsolv TN | 96.00 |
| | DBMSA | 3.00 |
| Phase 2: | Lactide ²⁶ | 1.00 |

²⁶ A lactide-containing composition obtained from Aldrich Chemical Co.

Procedure

40 [0042] Phase 1 was heated, with stirring, to 180-185°C or until the DBMSA was dissolved and a clear solution was obtained. Phase 2 was then mixed into this solution. The resultant mixture was poured into a mold and cooled to room temperature.

Clalms

45

- 1. A solid lipophilic composition suitable for topical application to human skin, which comprises:
 - (a) from about 1.5% by weight to about 30% by weight of DBMSA (dibenzyl monosorbitol acetal);
 - (b) from about 70% by weight to about 98.0% by weight of a lipophilic material; and
 - (c) from about 0.5% by weight to about 28.5% by weight of a cosmetic material selected from colorants, fragrances,
 - sunscreens, dermatologic agents, and mixtures thereof.
- The composition according to claim 1 wherein the lipophilic material comprises at least 80% by weight of one or more lipophilic oils.
 - 3. The composition according to claim 2 wherein the lipophilic material comprises at least 95% by weight of one or more lipophilic oils.

- The composition according to claim 3 wherein the lipophilic oil is selected from
 castor oil, mineral oil, squalene, fatty acids, fatty alcohols, C₁₂₋₁₅ alkyl benzoate, propylene glycol dipelargonate,
 glycerol trioctanoate and mixtures thereof.
- The composition according to claim 4 wherein the lipophilic oil is C₁₂₋₁₅ alkyl benzoate.
 - The composition according to claim 4 wherein the lipophilic oil is propylene glycol dipelargonate.
 - The composition according to claim 4 wherein the lipophilic oil is glycerol trioctanoate.

10

25

30

35

- 8. The composition according to claim 1 wherein the lipophilic material comprises a lipophilic wax selected from animal waxes, insect waxes, plant waxes, mineral waxes, petroleum waxes, synthetic waxes and mixtures thereof.
- The composition according to any one of claims 1 to 8 wherein the cosmetic material is a colorant selected from lipophilic dyes, lakes, pigments and mixtures thereof.
 - 10. The composition according to any one of claims 1 to 9 wherein the cosmetic material is a dermatologic agent selected from vitamins, antiinflammatory agents, hydroxyacids, hydroxyacid derivatives and mixtures thereof.
- 11. The composition according to claim 10, wherein the dermatologic agent is a hydroxyacid.
 - 12. The composition according to claim 10, wherein the dermatologic agent is a hydroxyacid derivative.
 - 13. The composition according to claim 12, wherein the hydroxyacid derivative has the formula:

$$\begin{array}{c|c} R_1 & O \\ \hline R_3 & O \\ \hline \end{array}$$

- wherein R_1 and R_2 are independently selected from hydrogen, and a C_1 - C_{25} alkyl, aralkyl or aryl group of saturated or unsaturated, isomeric or non-isomeric, straight chain, branched chain or cyclic form and R_3 and R_4 are selected independently from hydrogen, a halogen and a lower alkyl, aralkyl, aryl or alkoxy of saturated or unsaturated, isomeric or non-isomeric, straight or branched chain or cyclic form, having 1-9 carbon atoms.
- 14. The composition according to claim 13 wherein R₃ and R₄ are hydrogen.
- 15. The composition according to claim 13 wherein the hydroxyacid derivative is selected from lactide, glycolide and mixtures thereof.
- 16. The composition according to claim 15, wherein the hydroxyacid derivative is lactide.
- 17. The composition according to claim 15, wherein the hydroxyacid derivative is glycolide.
- 18. The composition according to any one of claims 1 to 17 wherein the cosmetic material comprises a sunscreen selected from dioxybenzone, 2-ethylhexyl 2-cyano-3,3-diphenylacrylate, 2-ethylhexyl p-methoxycinnamate, 2-ethylhexyl salicylate, homosalate, menthyl anthranilate, oxybenzone, octyl dimethyl PABA, red petrolatum, titanium dioxide, ferulic acid esters and mixtures thereof.
- 55 19. The composition according to claim 18, wherein the sunscreen comprises ethyl ferulate.
 - 20. The composition according to claim 18, wherein the sunscreen is titanium dioxide.

- 21. A method for preparing a solid lipophilic composition according to claim 1 comprising the steps of:
 - (a) mixing DBMSA with one or more lipophilic materials at a temperature and for a period of time sufficient to dissolve the DBMSA in the lipophilic material;
 - (b) mixing a cosmetic material selected from colorants, fragrances, sunscreens, dermatologic agents and mixtures thereof with the admixture of DBMSA and one or more lipophilic materials, the amounts of the materials being adjusted such that the resulting mixture contains from about 1.5% by weight to about 30% by weight DBMSA, from about 70% by weight to about 98.0% by weight of lipophilic material, and from about 0.5% by weight to about 28.5% by weight of cosmetic material; and
- 10 (c) cooling the mixture to ambient temperature.
 - 22. The method according to claim 21, wherein the temperature in step (a) is about 75°C to about 200°C.
- 23. The method according to claim 21, wherein step (b) is conducted prior to, during or after the DBMSA is dissolved in the lipophilic material.
 - 24. The method according to claim 21, wherein the DBMSA is dissolved in the lipophilic material before step(b) is conducted.
- 20 25. A composition prepared according to the method of claims 21, 22, 23, or 24.
 - 26. A method for applying a cosmetic composition to the skin comprising the step of applying to the skin the composition of any one of claims 1 to 20, or 25.

25 Patentansprüche

30

45

- 1. Feste, lipophile, zur örtlichen Anwendung auf der Haut des Menschen geeignete Zusammensetzung, umfassend:
 - (a) etwa 1,5 Gew.-% bis etwa 30 Gew.-% DBMSA (Dibenzylmonosorbitacetal);
 - (b) etwa 70 Gew. -% bis etwa 98,0 Gew.-% eines lipophilen Materials; und
 - (c) etwa 0,5 Gew.-% bis etwa 28,5 Gew.-% eines aus Färbemitteln, Duftmitteln, Sonnenschutzmitteln, dermatologischen Mitteln und Gemischen davon ausgewählten kosmetischen Materials.
- Zusammensetzung nach Anspruch 1, wobei das lipophile Material mindestens 80 Gew.-% eines oder mehrerer
 lipophiler Öle umfaßt.
 - Zusammensetzung nach Anspruch 2, wobei das lipophile Material mindestens 95 Gew. -% eines oder mehrerer lipophiler Öle umfaßt.
- Zusammensetzung nach Anspruch 3, wobei das lipophile Öl aus Rizinusöl, Mineralöl, Squalen, Fettsäuren, Fettalkoholen, Benzoesäure-C₁₂₋₁₅-alkylester, Propylenglycoldipelargonat, Glycerintrioctanoat und Gemischen davon ausgewählt ist.
 - Zusammensetzung nach Anspruch 4, wobei das lipophile Öl Benzoesäure-C₁₂₋₁₅-alkylester ist.
 - Zusammensetzung nach Anspruch 4, wobei das lipophile Öl Propylenglycoldipelargonat ist.
 - 7. Zusammensetzung nach Anspruch 4, wobei das lipophile Öl Glycerintrioctanoat ist.
- Zusammensetzung nach Anspruch 1, wobei das lipophile Material ein aus Tierwachsen, Insektenwachsen, Pflanzenwachsen, Mineralwachsen, Erdölwachsen, synthetischen Wachsen und Gemischen davon ausgewähltes lipophiles Wachs umfaßt.
- Zusammensetzung nach einem der Ansprüche 1 bis 8, wobei das kosmetische Material ein aus lipophilen Farbstoffen, Lacken, Pigmenten und Gemischen davon ausgewähltes Färbemittel ist.
 - Zusammensetzung nach einem der Ansprüche 1 bis 9, wobei das kosmetische Material ein aus Vitaminen, entzündungshemmenden Mitteln, Hydroxysäuren, Hydroxysäurederivaten und Gemischen davon ausgewähltes dermato-

logisches Mittel ist.

11. Zusammensetzung nach Anspruch 10, wobei das dermatologische Mittel eine Hydroxysäure ist.

- 5 12. Zusammensetzung nach Anspruch 10, wobei das dermatologische Mittel ein Hydroxysäurederivat ist.
 - 13. Zusammensetzung nach Anspruch 12, wobei das Hydroxysäurederivat die Formel aufweist:

10

15

in der R₁ und R₂ unabhängig voneinander aus einem Wasserstoffatom und einem C₁-C₂₅-Alkyl-, Aralkyl- oder Arylrest gesättigter oder ungesättigter, isomerer oder nicht isomerer, geradkettiger, verzweigtkettiger oder cyclischer
Art ausgewählt sind und R₃ und R₄ unabhängig voneinander aus einem Wasserstoffatom, einem Halogenatom und
einem Niederalkyl-, Aralkyl-, Aryl- oder Alkoxyrest gesättigter oder ungesättigter, isomerer oder nicht isomerer,
geradkettiger oder verzweigtkettiger oder cyclischer Art mit 1 bis 9 Kohlenstoffatomen ausgewählt sind.

25

14. Zusammensetzung nach Anspruch 13, wobei R3 und R4 Wasserstoffatome darstellen.

15. Zusammensetzung nach Anspruch 13, wobei das Hydroxysäurederivat aus Lactid, Glycolid und Gemischen davon ausgewählt ist.

30

16. Zusammensetzung nach Anspruch 15, wobei das Hydroxysäurederivat Lactid ist.

17. Zusammensetzung nach Anspruch 15, wobei das Hydroxysäurederivat Glycolid ist.

18. Zusammensetzung nach einem der Ansprüche 1 bis 17, wobei das kosmetische Material ein Sonnenschutzmittel umfaßt, das aus Dioxybenzon, 2-Cyano-3,3-diphenylacrylsäure-2-ethylhexylester, p-Methoxyzimtsäure-2-ethylhexylester, Salicylsäure-2-ethylhexylester, Homosalat, Anthranilsäurementhylester, Oxybenzon, p-Dimethylaminobenzoesäureoctylester (octyl dimethyl PABA), Vaseline (red petrolatum), Titandioxid, Ferulasäureestern und Gemischen davon ausgewählt ist.

.

- 19. Zusammensetzung nach Anspruch 18, wobei das Sonnenschutzmittel Ferulasäureethylester umfaßt.
- 20. Zusammensetzung nach Anspruch 18, wobei das Sonnenschutzmittel Titandioxid ist.

21. Verfahren zur Herstellung einer festen lipophilen Zusammensetzung nach Anspruch 1, umfassend die Schritte:

(a) Vermischen von DBMSA mit einem oder mehreren lipophilen Materialien bei einer ausreichenden Temperatur und für einen ausreichenden Zeitraum zur Lösung des DBMSA in dem lipophilen Material;

(b) Vermischen eines aus Färbermitteln, Duftmitteln, Sonnenschutzmitteln, dermatologischen Mitteln und Gemischen davon ausgewählten kosmetischen Materials mit dem Gemisch von DBMSA und einem oder mehreren lipophilen Materialien, wobei die Mengen der Materialien derart eingestellt werden, daß das erhaltene Gemisch etwa 1,5 Gew.-% bis etwa 30 Gew.-% DBMSA, etwa 70 Gew.-% bis etwa 98,0 Gew.-% lipophiles Material und etwa 0,5 Gew.-% bis etwa 28,5 Gew.-% kosmetisches Material enthält; und

(c) Abkühlen des Gemisches auf Raumtemperatur.

5

- 22. Verfahren nach Anspruch 21, wobei die Temperatur in Schritt (a) etwa 75°C bis etwa 200°C ist.
- 23. Verfahren nach Anspruch 21, wobei Schritt (b) vor, während oder nach der Lösung von DBMSA in dem lipophilen

Material erfolgt.

- 24. Verfahren nach Anspruch 21, wobei das DBMSA in dem lipophilen Material gelöst wird, bevor Schritt (b) erfolgt.
- Z5. Zusammensetzung, hergestellt gemäß dem Verfahren nach Ansprüchen 21, 22, 23 oder 24.
 - 26. Verfahren zum Auftragen einer kosmetischen Zusammensetzung auf die Haut, das den Schritt Auftragen der Zusammensetzung nach einem der Ansprüche 1 bis 20 oder 25 auf die Haut umfaßt.

10 Revendications

15

- 1. Composition lipophile solide appropriée à l'application topique sur la peau humaine, qui comprend:
 - (a) environ 1,5 % en masse à environ 30 % en masse de DBMSA (dibenzyl monosorbitol acétal);
 - (b) environ 70 % en masse à environ 98,0 % en masse d'une substance lipophile; et
 - (c) environ 0,5 % en masse à environ 28,5 % en masse d'une substance cosmétique choisie parmi des colorants, des parfums, des écrans solaires, des agents dermatologiques et leurs mélanges.
- Composition selon la revendication 1, dans laquelle la substance lipophile comprend au moins 80 % en masse d'une ou plusieurs huiles lipophiles.
 - Composition selon la revendication 2, dans laquelle la substance lipophile comprend au moins 95 % en masse d'une ou plusieurs huiles lipophiles.
- 25 4. Composition selon la revendication 3, dans laquelle l'huile lipophile est choisie parmi l'huile de ricin, l'huile minérale, le squalène, des acides gras, des alcools gras, un benzoate d'alkyle en C₁₂-C₁₅, le dipélargonate de propylèneglycol, le trioctanoate de glycérol et leurs mélanges.
 - 5. Composition selon la revendication 4, dans laquelle l'huile lipophile est un benzoate d'alkyle en C12-C15.
 - 6. Composition selon la revendication 4, dans laquelle l'huile lipophile est le dipélargonate de propylèneglycol.
 - 7. Composition selon la revendication 4, dans laquelle l'huile lipophile est le trioctanoate de glycérol.
- 35 8. Composition selon la revendication 1, dans laquelle la substance lipophile comprend une cire lipophile choisie parmi des cires animales, des cires d'insectes, des cires végétales, des cires minérales, des cires de pétrole, des cires synthétiques et leurs mélanges.
- Composition selon l'une quelconque des revendications 1 à 8, dans laquelle la substance cosmétique est un colo rant choisi parmi des colorants, des laques ou des pigments lipophiles et leurs mélanges.
 - 10. Composition selon l'une quelconque des revendications 1 à 9, dans laquelle la substance cosmétique est un agent dermatologique choisi parmi des vitamines, des agents anti-inflammatoires, des hydroxyacides, des dérivés d'hydroxyacides et leurs mélanges.
 - 11. Composition selon la revendication 10, dans laquelle l'agent dermatologique est un hydroxyacide.
 - 12. Composition selon la revendication 10, dans laquelle l'agent dermatologique est un dérivé d'hydroxyacide.
- 50 13. Composition selon la revendication 12, dans laquelle le dérivé d'hydroxyacide a la formule:

$$R_1$$
 O R_2 O R_4 R_2

10

15

5

dans laquelle R_1 et R_2 sont choisis indépendamment parmi l'hydrogène et un groupe alkyle, aralkyle ou aryle en C_1 - C_{25} de forme linéaire, ramifiée ou cyclique, saturée ou insaturée, isomère ou non isomère, et R_3 et R_4 sont choisis indépendamment parmi l'hydrogène, un halogène et un groupe alkyle, aralkyle, aryle ou alcoxy inférieur de forme linéaire, ramifiée ou cyclique, saturée ou insaturée, isomère ou non isomère, de 1 à 9 atomes de carbone.

14. Composition selon la revendication 13, dans laquelle R_3 et R_4 représentent l'hydrogène.

- 15. Composition selon la revendication 13, dans laquelle le dérivé d'hydroxyacide est choisi parmi le lactide, le glyco-20 lide et leurs mélanges.
 - 16. Composition selon la revendication 15, dans laquelle le dérivé d'hydroxyacide est le lactide.
 - 17. Composition selon la revendication 15, dans laquelle le dérivé d'hydroxyacide est le glycolide.

25

18. Composition selon l'une quelconque des revendications 1 à 17, dans laquelle la substance cosmétique comprend un écran solaire choisi parmi la dioxybenzone, le 2-cyano-3,3-diphénylacrylate de 2-éthylhexyle, le p-méthoxycinnamate de 2-éthylhexyle, le salicylate de 2-éthylhexyle, l'homosalate, l'anthranilate de menthyle, l'oxybenzone, le diméthyl-PABA d'octyle, la vaseline rouge, le dioxyde de titane, des esters de l'acide férulique et leurs mélanges.

30

- 19. Composition selon la revendication 18, dans laquelle l'écran solaire comprend le férulate d'éthyle.
- 20. Composition selon la revendication 18, dans laquelle l'écran solaire est le dioxyde de titane.
- 21. Procédé de préparation d'une composition lipophile solide selon la revendication 1, comprenant les étapes selon lesquelles:
 - (a) on mélange du DBMSA avec une ou plusieurs substances lipophiles à une température et pendant une durée suffisantes pour dissoudre le DBMSA dans la substance lipophile;
 - (b) on mélange une substance cosmétique choisie parmi des colorants, des parfums, des écrans solaires, des agents dermatologiques et leurs mélanges avec le mélange de DBMSA et d'une ou plusieurs substances lipophiles, en réglant les quantités de substances de manière que le mélange obtenu contienne environ 1,5 % en masse à environ 30 % en masse de DBMSA, environ 70 % en masse à environ 98,0 % en masse de substance lipophile et environ 0,5 % en masse à environ 28,5 % en masse de substance cosmétique; et
 - (c) on refroidit le mélange à la température ambiante.
 - 22. Procédé selon la revendication 21, dans lequel la température dans l'étape (a) est d'environ 75°C à environ 200°C.
- 23. Procédé selon la revendication 21, dans lequel on effectue l'étape (b) avant, pendant ou après la dissolution du DBMSA dans la substance lipophile.
 - 24. Procédé selon la revendication 21, dans laquelle on dissout le DBMSA dans la substance lipophile avant d'effectuer l'étape (b).
- 55 25. Composition préparée par le procédé des revendications 21, 22, 23 ou 24.
 - 26. Procédé d'application d'une composition cosmétique sur la peau, comprenant l'étape d'application sur la peau d'une composition selon l'une quelconque des revendications 1 à 20 ou 25.